

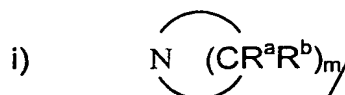
CLAIMS

1. Use of a compound having the general formula (A):



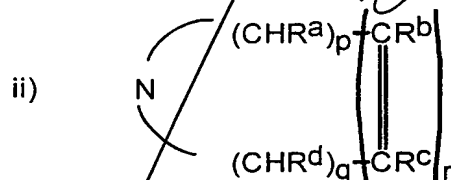
5 in which:

- W is a residue which imparts antagonistic and/or agonistic activity at histamine H₃-receptors when attached to an imidazole ring in 4(5)-position;
 - R¹ and R² may be identical or different and represent each independently
 - a lower alkyl or cycloalkyl,
- 10 or taken together with the nitrogen atom to which they are attached,
- a saturated nitrogen-containing ring



15 with m ranging from 2 to 8, or

- a non-aromatic unsaturated nitrogen-containing ring



with p and q being from 0 to 3 independently and r being from 0 to 4, provided that p and q are not simultaneously 0 and $2 \leq p + q + r \leq 8$,

25 R^{a-d} being independently a hydrogen atom or a lower alkyl, cycloalkyl, or carboalkoxy group, or

- a morpholino group, or
- a N-substituted piperazino group:



30 with R being a lower alkyl, cycloalkyl, carboalkoxy, aryl, arylalkyl, an alkanoyl or aroyl group,

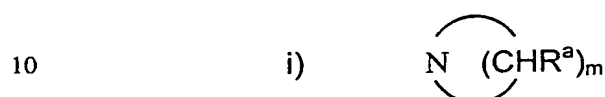
as well as their pharmaceutically acceptable salts, their hydrates, their hydrated salts, the polymorphic crystalline structures of these compounds and their

optical isomers, racemates, diastereoisomers and enantiomers, for the preparation of a medicament acting as a ligand of the histamine H₃-receptors.

2. Use according to claim 1, in which R¹ and R² are independently a lower alkyl group.

5 3. Use according to claim 2, in which R¹ and R² are each an ethyl group.

4. Use according to claim 1, in which -NR¹R² is a saturated nitrogen-containing ring:



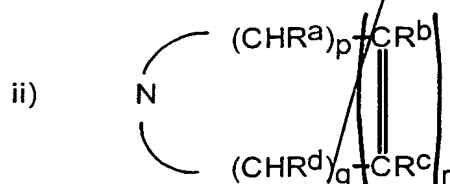
m being as defined in claim 1.

5. Use according to claim 4, characterized in that m is 4, 5 or 6.

15 6. Use according to claim 5, characterized in that -NR¹R² represents a piperidyl group.

7. Use according to claim 5, characterized in that -NR¹R² represents a pyrrolidinyl group.

8. Use according to claim 1, characterized in that -NR¹R² is a non-aromatic unsaturated nitrogen-containing ring:



25

R^{a-d} and p, q and r being as defined in claim 1.

9. Use according to claim 8, characterized in that p, q and r are 1 or 2, more preferably p is 2 and q and r are 1.

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10. Use according to anyone of claims 4 to 9, characterized in that R^{a-d} represents each an hydrogen atom.

11. Use according to anyone of claim 4 to 9, characterized in that the nitrogen-containing ring i) or ii) is substituted, preferably mono- or di-substituted, more preferably mono-substituted, with an alkyl group.

↑

Claim 1
None of claims 1-10

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ably N-acetyl
Dawn
nyone of cl



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- a

previous/x
in claim 1:

- 20

- 25

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$\{0\}$ $\{1\}$ $\{2\}$ $\{3\}$ $\{4\}$ $\{5\}$ $\{6\}$ $\{7\}$ $\{8\}$ $\{9\}$ $\{10\}$ $\{11\}$ $\{12\}$ $\{13\}$ $\{14\}$ $\{15\}$ $\{16\}$ $\{17\}$ $\{18\}$ $\{19\}$ $\{20\}$ $\{21\}$ $\{22\}$ $\{23\}$ $\{24\}$ $\{25\}$ $\{26\}$ $\{27\}$ $\{28\}$ $\{29\}$ $\{30\}$ $\{31\}$ $\{32\}$ $\{33\}$ $\{34\}$ $\{35\}$ $\{36\}$ $\{37\}$ $\{38\}$ $\{39\}$ $\{40\}$ $\{41\}$ $\{42\}$ $\{43\}$ $\{44\}$ $\{45\}$ $\{46\}$ $\{47\}$ $\{48\}$ $\{49\}$ $\{50\}$ $\{51\}$ $\{52\}$ $\{53\}$ $\{54\}$ $\{55\}$ $\{56\}$ $\{57\}$ $\{58\}$ $\{59\}$ $\{60\}$ $\{61\}$ $\{62\}$ $\{63\}$ $\{64\}$ $\{65\}$ $\{66\}$ $\{67\}$ $\{68\}$ $\{69\}$ $\{70\}$ $\{71\}$ $\{72\}$ $\{73\}$ $\{74\}$ $\{75\}$ $\{76\}$ $\{77\}$ $\{78\}$ $\{79\}$ $\{80\}$ $\{81\}$ $\{82\}$ $\{83\}$ $\{84\}$ $\{85\}$ $\{86\}$ $\{87\}$ $\{88\}$ $\{89\}$ $\{90\}$ $\{91\}$ $\{92\}$ $\{93\}$ $\{94\}$ $\{95\}$ $\{96\}$ $\{97\}$ $\{98\}$ $\{99\}$

18. Use according to anyone of claims 16 and 17, characterized in that n_3 is 1 with R^3 being as defined in claim 1 and preferably in para-position. *claim 16*
19. Use according to anyone of claims 16 and 18, characterized in that R^3 is a lower alkyl, preferably a C_1 - C_4 alkyl. *claim 16*
20. Use according to anyone of claims 16 and 18, characterized in that R^3 is a halogen atom, a cyano, nitro, alkanoyl, alkyloximino or hydroxyalkyl, preferably CN, NO_2 , $COCH_3$, COC_2H_5 , $H_3C-C=N-OH$ or $H_3C-CHOH$ or cycloalkyl-CO. *claim 16*
21. Use according to claim 16, characterized in that R^3 taken together with the carbon atoms of the phenyl group to which it is fused, form a 5- or 6- membered saturated or unsaturated ring, in particular a 5,6,7,8-tetrahydronaphthyl group. *claim 16*
22. Use according to claim 16, characterized in that R^3 taken together with the phenyl group to which it is fused, form a naphthyl group. *claim 16*
23. Use according to anyone of claims 16 to 22, characterized in that $-C_nH_{2n}-$ is a linear hydrocarbon chain $-(CH_2)_n-$, n being as defined in claim 16. *claim 16*
24. Use according to anyone of claims 16 to 23, characterized in that X is an oxygen atom. *claim 16*
25. Use according to anyone of claims 16 to 23, characterized in that X is a sulfur atom. *claim 16*
26. Use according to anyone of claims 16 to 25, characterized in that n is varying from 3 to 5 and is preferably 3. *claim 16*
27. Use according to anyone of claims 16 to 26, characterized in that it is one of the following compounds:
- 1-(5-phenoxy)pentyl-piperidine
 - 1-(5-phenoxy)pentyl-pyrrolidine
 - N-methyl-N-(5-phenoxy)pentyl-ethylamine
 - 1-(5-phenoxy)pentyl-morpholine
 - N-(5-phenoxy)pentyl-hexamethyleneimine
 - N-ethyl-N-(5-phenoxy)pentyl-propylamine
 - 1-(5-phenoxy)pentyl-2-methyl-piperidine
 - 1-[3-(4-cyclopropanecarbonylphenoxy) propyl]-piperidine

- 1-[3-(4-acetylphenoxy)-2-R-methylpropyl] piperidine
 1-[3-(4-cyanophenoxy)propyl]-4-methylpiperidine
 1-[3-(4-cyanophenoxy)propyl]-3-methylpiperidine
 1-[3-(4-acetylphenoxy)-2-S-methylpropyl] piperidine
 5 1-[3-[4-(3-oxobutyl)phenoxy] propyl]piperidine
 1-[3-(4-cyano-3-fluorophenoxy)propyl] piperidine
 1-[3-(4-nitrophenoxy)propyl]-3-methylpiperidine
 1-[3-(4-cyanophenoxy)propyl]-2-methylpiperidine
 1-[3-(4-nitrophenoxy)propyl]-2-methylpiperidine
 10 1-[3-(4-nitrophenoxy)propyl]-4-methylpiperidine
 1-[3-(4-cyanophenoxy)propyl]-2,6-dimethylpiperidine
 1-[3-(4-propionylphenoxy)propyl]-3-methylpiperidine
 1-[3-(4-cyclobutanecarbonylphenoxy)propyl] piperidine
 1-[3-(4-cyclopentanecarbonylphenoxy) propyl]piperidine
 15 1-[3-(4-cyanophenoxy)propyl]-cis-2-methyl-5-ethylpiperidine
 1-[3-(4-cyanophenoxy)propyl]-trans-2-methyl-5-ethylpiperidine
 1-[3-(4-cyanophenoxy)propyl]-cis-3,5-dimethylpiperidine
 1-[3-(4-propionylphenoxy)propyl]-4-methylpiperidine
 1-[3-(4-propionylphenoxy)propyl]-2-methylpiperidine
 20 1-[3-[4-(1-hydroxypropyl)phenoxy]propyl]-3-methylpiperidine
 1-[3-[4-(1-hydroxypropyl)phenoxy]propyl]-4-methylpiperidine
 1-[3-(4-propionylphenoxy)propyl]-2-methylpiperidine
 1-[3-(4-propionylphenoxy)propyl]-4-methylpiperidine methoxime
 1-[3-(4-cyanophenoxy)propyl]-trans-3,5-dimethylpiperidine
 25 1-[3-(4-cyclopropyl carbonyl phenoxy) propyl] -trans-3,5
 -dimethylpiperidine
 1-[3-(4-cyclopropyl carbonyl phenoxy) propyl] -cis-3,5
 -dimethylpiperidine
 1-[3-(4-carbomethoxyphenoxy)propyl] piperidine
 30 1-[3-(4-propenylphenoxy)propyl]-2-methyl piperidine
 1-[3-(4-propionylphenoxy)propyl]-2-methylpiperidine
 1-[3-[4-(1-ethoxypropyl)phenoxy]propyl]-2-methyl piperidine
 1-[3-(4-propionylphenoxy)propyl]-4-methylpiperidine

- 1-[3-(4-bromophenoxy)propyl]piperidine
1-[3-(4-nitrophenoxy)propyl]piperidine
1-[3-(4-N,N-dimethylsulfonamidophenoxy) propyl]piperidine
1-[3-(4-isopropylphenoxy)propyl]piperidine
5 1-[3-(4-sec-butylphenoxy)propyl]piperidine
1-[3-(4-propylphenoxy)propyl]piperidine
1-[3-(4-ethylphenoxy)propyl]piperidine
1-(5-phenoxy-pentyl)-4-propyl-piperidine
1-(5-phenoxy-pentyl)-4-methyl-piperidine
10 1-(5-phenoxy-pentyl)-3-methyl-piperidine
1-acetyl-4-(5-phenoxy-pentyl)-piperazine
1-(5-phenoxy-pentyl)-3,5-trans-dimethyl-piperidine
1-(5-phenoxy-pentyl)-3,5-cis-dimethyl-piperidine
1-(5-phenoxy-pentyl)-2,6-cis-dimethyl-piperidine
15 4-carboethoxy-1-(5-phenoxy-pentyl)-piperidine
3-carboethoxy-1-(5-phenoxy-pentyl)-piperidine
1-(5-phenoxy-pentyl)-1,2,3,6-tetrahydropyridine
1-[5-(4-nitrophenoxy)-pentyl]-pyrrolidine
1-[5-(4-chlorophenoxy)-pentyl]-pyrrolidine
20 1-[5-(4-methoxyphenoxy)-pentyl]-pyrrolidine
1-[5-(4-methylphenoxy)-pentyl]-pyrrolidine
1-[5-(4-cyanophenoxy)-pentyl]-pyrrolidine
1-[5-(2-naphthyloxy)-pentyl]-pyrrolidine
1-[5-(1-naphthyloxy)-pentyl]-pyrrolidine
25 1-[5-(3-chlorophenoxy)-pentyl]-pyrrolidine
1-[5-(4-phenylphenoxy)-pentyl]-pyrrolidine
1-[5-[2-(5,6,7,8-tetrahydronaphthyl)-oxy]-pentyl]-pyrrolidine
1-[5-(3-phenylphenoxy)-pentyl]-pyrrolidine
1-(5-phenoxy-pentyl)-2,5-dihydropyrrole
30 1-[5-[1-(5,6,7,8-tetrahydronaphthyl)-oxy]-pentyl]-pyrrolidine
1-(4-phenoxybutyl)-pyrrolidine
1-(6-phenoxyhexyl)-pyrrolidine
1-(5-phenylthiopentyl)-pyrrolidine

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1-[5-(3-cyanophenoxy)-pentyl]-pyrrolidine

N-[3-(4-cyanophenoxy)-propyl]-diethylamine

1-{5-[4-(phenylacetyl)-phenoxy]-pentyl}-pyrrolidine

1-[5-(4-acetamidophenoxy)-pentyl]-pyrrolidine

1-[5-(4-N-benzamidophenoxy)-pentyl]-pyrrolidine

1-[5-(4-cyanophenoxy)-pentyl]-diethylamine

N-[5-(4-cyanophenoxy)-pentyl]-dimethylamine

N-[3-(4-cyanophenoxy)-propyl]-dimethylamine

N-[5-(4-cyanophenoxy)-pentyl]-dipropylamine

1-[3-(4-cyanophenoxy)-propyl]-piperidine

N-[6-(4-cyanophenoxy)-hexyl]-diethylamine

N-3-[4-(1-hydroxyethyl)-phenoxy]-propyl-diethylamine

1-[3-(4-acetylphenoxy)-propyl]-piperidine

1-[3-(4-acetylphenoxy)-propyl]-3-methyl-piperidine

1-[3-(4-acetylphenoxy)-propyl]-3,5-trans-dimethyl-piperidine

1-[3-(4-acetylphenoxy)-propyl]-4-methyl-piperidine

1-[3-(4-propionylphenoxy)-propyl]-piperidine

1-[3-(4-acetylphenoxy)-propyl]-3,5-cis-dimethyl-piperidine

5 1-[3-(4-formylphenoxy)-propyl]-piperidine

1-[3-(4-isobutyrylphenoxy)-propyl]-piperidine

N-[3-(4-propionylphenoxy)-propyl]-diethylamine

1-[3-(4-butyrylphenoxy)-propyl]-piperidine

1-[3-(4-acetylphenoxy)-propyl]-1,2,3,6-tetrahydropyridine.

10 *a* 28. Use according to ~~anyone of claims 16 to 27~~, characterized in that it is one of the following compounds :

1-[5-(4-nitrophenoxy)-pentyl]-pyrrolidine

1-[5-[4-(1-hydroxyethyl)-phenoxy]-pentyl]-pyrrolidine

1-[3-(4-cyanophenoxy)-propyl]-piperidine

15 N-[3-(4-cyanophenoxy)-propyl]-hexamethyleneimine

N-3-[4-(1-hydroxyethyl)-phenoxy]-propyl-diethylamine

4-(3-diethylaminopropoxy)-acetophenone-oxime

1-[3-(4-acetylphenoxy)-propyl]-3-methyl-piperidine

1-[3-(4-acetylphenoxy)-propyl]-4-methyl-piperidine

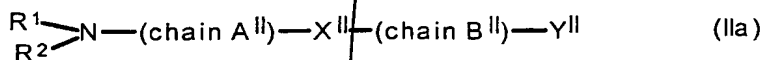
20 1-[3-(4-propionylphenoxy)-propyl]-piperidine

N-[3-(4-cyanophenoxy)-propyl]-diethylamine

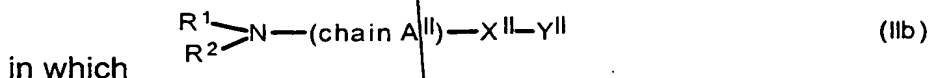
N-[3-(4-acetylphenoxy)-propyl]-diethylamine

N-[4-(4-cyanophenoxy)-butyl]-diethylamine,

25 *b* 29. Use according to ~~anyone of claims 1 to 15~~, having the following general formula (IIa) and (IIb):



or



in which

⁵ *previous /* R^1 and R^2 are as defined with reference to general formula (A) in ~~claim 1;~~

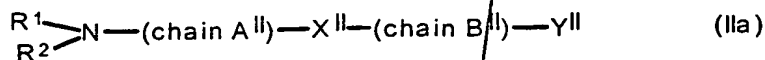
- the chain A^{II} represents a saturated or unsaturated, straight or branched hydrocarbon chain containing 1 to 6 carbon atoms, it being possible for the saturated hydrocarbon chain to be interrupted by a hetero atom such as a sulphur atom;

- X^{II} represents an oxygen or sulphur atom, -NH-, -NHCO-, -N(alkyl)CO-, -NHCONH-, -NH-CS-NH-, -NHCS-, -O-CO-, -CO-O-, -OCONH-, -OCON(alkyl)-, -OCON(alkene), -OCONH-CO-, -CONH-, -CON(alkyl)-, -SO-, -CO-, -CHOH-, -N(saturated or unsaturated alkyl), -S-C(=NY'')-NH-Y''- with the Y'' identical or different, as defined previously, or -NR_{II}-C(=NR''_{II})-NR'_{II}-, R_{II} and R'_{II} denoting a hydrogen atom or a lower alkyl radical and R''_{II} a hydrogen atom or another powerful electronegative group, such as a cyano or COY₁^{II} group, Y₁^{II} denoting an alkoxy group;

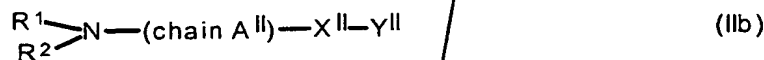
- the chain B^{II} represents an aryl, arylalkyl or arylalkanoyl group, a straight alkylene chain -(CH₂)_{nII}-, n being an integer which can vary between 1 and 5 or a branched alkylene chain containing from 2 to 8 carbon atoms, the alkylene chain being optionally interrupted by one or a number of oxygen or sulphur atoms, or a group -(CH₂)_{nII}-O- or -(CH₂)_{nII}-S- where n_{II} is an integer equal to 1 or 2;

- Y^{II} represents a straight or branched alkyl group containing 1 to 8 carbon atoms; a cycloalkyl containing 3 to 6 carbon atoms; a bicycloalkyl group; a cycloalkenyl group; an aryl group such as an optionally substituted phenyl group; a 5- or 6-membered heterocyclic radical containing one or two heteroatoms chosen from nitrogen and sulphur atoms, the said heterocyclic radical optionally being substituted; or also a bicyclic radical resulting from the fusion of a benzene ring to a heterocycle as defined above.

claim 1
30. Use according to ~~anyone of claims 1 to 15,~~ having the following formula (IIa) and (IIb):



or



in which:

— R^1 and R^2 are as defined with reference to general formula (A) in claim 1;

— the chain A^{II} represents an unbranched, branched or unsaturated alkyl group $-(CH_2)_{n_{II}}-$ where n_{II} is an integer which can vary between 1 and 8 and preferably between 1 and 4; an unbranched or branched alkene group comprising from 1 to 8 carbon atoms and preferably 1 to 4 carbon atoms; an unbranched or branched alkyne group comprising from 1 to 4 carbon atoms;

— the group X^{II} represents $-OCONH-$; $-OCON(\text{alkyl})-$; $-OCON(\text{alkene})-$; $-OCO-$; $-OCSNH-$; $-CH_2-$; $-O-$; $-OCH_2CO-$; $-S-$; $-CO-$; $-CS-$; amine; saturated or unsaturated alkyl;

— the chain B^{II} represents an unbranched, branched or unsaturated lower alkyl comprising from 1 to 8 carbon atoms and preferably 1 to 5 carbon atoms; $-(CH_2)_{n_{II}}(\text{hetero atom})-$ where the hetero atom is preferably a sulphur or oxygen atom; n_{II} being an integer which can vary between 1 and 5, preferably between 1 and 4;

— the group Y^{II} represents a phenyl group, unsubstituted or mono- or polysubstituted with one or more identical or different substituents selected from halogen atoms, OCF_3 , CHO , CF_3 , $SO_2N(\text{alkyl})_2$ such as $SO_2N(CH_3)_2$, NO_2 , $S(\text{alkyl})$, $S(\text{aryl})$, $SCH_2(\text{phenyl})$, an unbranched or branched alkene, an unbranched or branched alkyne optionally substituted with a trialkylsilyl radical, $-O(\text{alkyl})$, $-O(\text{aryl})$, $-CH_2CN$, a ketone, an aldehyde, a sulphone, an acetal, an alcohol, a lower alkyl, $-CH=CH-CHO$, $-C(\text{alkyl})=N-OH$, $-C(\text{alkyl})=N-O(\text{alkyl})$ and other keto derivatives, $-CH=NOH$, $-CH=NO(\text{alkyl})$, and other aldehyde derivatives, $-C(\text{alkyl})=NH-NH-CONH_2$, an O-phenyl or $-OCH_2(\text{phenyl})$ group, $-C(\text{cycloalkyl})=NOH$, $-C(\text{cycloalkyl})=N-O(\text{alkyl})$, an optionally substituted

aldehyde, $-\text{CH}=\text{CH}-\text{CHO}$, $-\text{C}(\text{alkyl})=\text{N}-\text{OH}$, $-\text{C}(\text{alkyl})=\text{N}-\text{O}(\text{alkyl})$ and other keto derivatives, $-\text{CH}=\text{N}-\text{OH}$, $-\text{CH}=\text{NO}(\text{alkyl})$ and other aldehyde derivatives, $-\text{C}(\text{cycloalkyl})=\text{NOH}$, $-\text{C}(\text{cycloalkyl})=\text{N}-\text{O}(\text{alkyl})$.

35. Use according to ~~anyone of claims 29 to 34~~, characterized in that chain A'' is a chain $-(\text{CH}_2)_n-$ with n varying from 1 to 6, preferably from 1 to 4, the chain A'' representing especially $-(\text{CH}_2)_3-$.

36. Use according to ~~anyone of claims 29 to 35~~, characterized in that the chain B'' is $-(\text{CH}_2)_2-$ or $-(\text{CH}_2)_3-$.

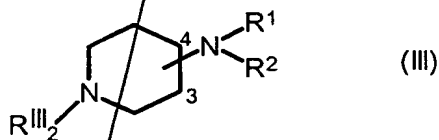
37. Use according to ~~anyone of claims 29 to 36~~, characterized in that X is an oxygen atom, the chain A represents $-(\text{CH}_2)_3-$ and, for compounds of formula (IIa), the chain B represents $-(\text{CH}_2)_3-$ also.

38. Use according to ~~anyone of claims 29 to 37~~, characterized in that it is one of the following compounds:

- 3,3-Dimethylbutyl 3-piperidinopropyl ether
- 3-Phenylpropyl 3-piperidinopropyl ether
- 3-(4-Chlorophenyl)propyl 3-piperidinopropyl ether
- 2-Benzothiazolyl 3-piperidinopropyl ether
- 3-Phenylpropyl 3-(4-methylpiperidino)propyl ether
- 3-Phenylpropyl 3-(3,5-cis-dimethylpiperidino)propyl ether
- 3-Phenylpropyl 3-(3,5-trans-dimethylpiperidino)propyl ether
- 3-Phenylpropyl 3-(3-methylpiperidino)propyl ether
- 3-Phenylpropyl 3-pyrrolidinopropyl ether
- 3-(4-Chlorophenyl)propyl 3-(4-methylpiperidino)propyl ether
- 3-(4-Chlorophenyl) propyl 3-(3,5-cis-dimethyl piperidino) propyl ether
- 3-(4-Chlorophenyl) propyl 3-(3,5-trans-dimethyl piperidino) propyl ether
- 3-Phenylpropyl 3-(N,N-diethylamino)propyl ether
- N-Phenyl-3-piperidinopropyl carbamate
- N-Pentyl-3-piperidinopropyl carbamate

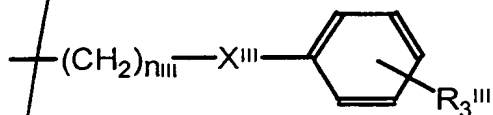
- (S)-(+)-N-[2-(3,3-Dimethyl)butyl]-3-piperidinopropyl carbamate
- 3-Cyclopentyl-N-(3-(1-pyrrolidinyl)propyl)propanamide
- N-Cyclohexyl-N'-(1-pyrrolidinyl-3-propyl)urea
- 2-((2-Piperidinoethyl)amino)benzothiazole
- 5-Piperidinopentylamine
- 2-Nitro-5-(6-piperidinohexyl)pyridine
- 3-Nitro-2-(6-piperidinohexylamino)pyridine
- 2-(6-Piperidinohexylamino)pyrimidine
- N-(6-Phenylhexyl)piperidine
- N-phenyl-N'-(diethylamino-3-propyl)urea
- N-benzyl-N'-(3-piperidinopropyl)guanidine
- N-(3-(N,N-Diethylamino)propyl)N'-phenylurea
- N-Cyclohexylmethyl-N'-(3-piperidinopropyl)guanidine

39. Use according to anyone of claims 1 to 15, having the following formula (III)

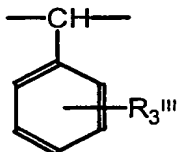


in which:

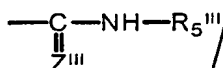
- NR^1R^2 is either in 3-position or in 4-position on the piperidyl moiety, R^1 and R^2 being as defined with reference to formula (A) ^{previous} in claim 1;
- R_2^{III} denotes a linear or branched alkyl group having 1 to 6 carbon atoms; a piperonyl group, a 3-(1-benzimidazolonyl)propyl group; a group of formula



in which n_{III} is 0, 1, 2 or 3, X^{III} is a single bond or alternatively -O-, -S-, -NH-, -CO-, -CH=CH- or



and R_3^{III} is H, CH_3 , halogen, CN, CF_3 or an acyl group $-COR_4^{III}$, R_4^{III} being a linear or branched alkyl group having 1 to 6 carbon atoms, a cycloalkyl group having 3 to 6 carbon atoms or a phenyl group which can bear a CH_3 or F substituent; or alternatively a group of formula



in which Z^{III} denotes an O or S atom or a divalent group NH, N- CH_3 or N-CN and R_5^{III} denotes a linear or branched alkyl group having 1 to 8 carbon atoms, a cycloalkyl group having 3 to 6 carbon atoms which can bear a phenyl substituent, a (C_3 - C_6 cycloalkyl) (linear or branched, C_1 - C_3 alkyl) group, a phenyl group which can bear a CH_3 , halogen or CF_3 substituent, a phenyl(linear or branched, C_1 - C_3 alkyl) group or a naphthyl, adamantyl or p-toluenesulphonyl group.

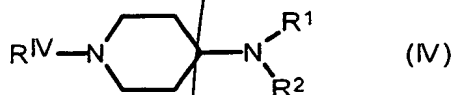
40. Use according to claim 39, characterized in that R^{III} represents the group $-C(=Z^{III})-NH-R_5^{III}$, Z^{III} and R_5^{III} being as defined

previous/x
in claim 39, Z^{III} being especially O, S or NH.

41. Use according to claim 40, characterized in that R_5^{III} is a (C_3 - C_6)cycloalkyl group.

42. Use according to anyone of claims 39 to 41, which is N'-Cyclohexylthiocarbamoyl-N-1,4'-bipiperidine

43. Use according to anyone of claims 1 to 15, which have the following formula (IV):



in which

— R^1 and R^2 are as defined with reference to general formula (A) in claim 1;

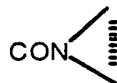
— R^{IV} represents a hydrogen atom or a group COR_3^{IV} , in which R_3^{IV} represents

5 (a) a linear or branched aliphatic group containing 1 to 11, and in particular 1 to 9, carbon atoms;

(b) a cyclane ring-system such as cyclopropane, phenylcyclopropane, cyclobutane, cyclopentane, cyclohexane, cycloheptane, norbornane, adamantane, noradamantane, chlorooxonorbornane, 10 chloroethylenedioxy norbornane, bromoethylenedioxy norbornane and the anhydride group of hydroxycarboxy-1,2,2-trimethylcyclopentanecarboxylic acid;

(c) a benzene ring, unsubstituted or substituted at the para-position with a linear or branched aliphatic group containing 3 to 5 carbon atoms, as well as with a halogen;

15 (d) a group $(CH_2)_{m_{IV}}R_4^{IV}$ in which m_{IV} is a number between 1 and 10, and R_4^{IV} represents a cyclane ring system such as cyclopropane, cyclobutane, cyclopentane, cyclopentene, cyclohexane, cycloheptane, norbornane, noradamantane, adamantane and 6,6-dimethylbicyclo[3.1.1] heptene; a benzene ring, unsubstituted or monosubstituted with a fluorine atom, 20 a chlorine atom, a methyl group or a methoxy group; a thiophene ring grafted via its ring-position 2 or its ring-position 3; a carboxylic acid ester group $COOR_5^{IV}$, in which R_5^{IV} is a cyclane ring-system such as cyclopropane, cyclobutane, cyclopentane, cyclohexane or norbornane; a carboxylic acid amide group of structure $CONHR_6^{IV}$, in which R_6^{IV} represents a cyclane ring-system 25 such as cyclopropane, cyclobutane, cyclopentane, cyclohexane or norbornane; a carboxylic acid amide group of structure



in which the group



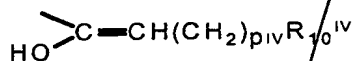
30 represents pyrrolidine, piperidine or 2,6-dimethylmorpholine; or an ether group $-O-R_7^{IV}$, it being possible for R_7^{IV} to be a benzene ring, unsubstituted or

monosubstituted with a chlorine or fluorine atom or disubstituted with a chlorine atom and with a methyl group;

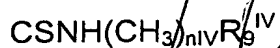
(e) a group $-\text{CH}=\text{CHR}_8^{\text{IV}}$, in which R_8^{IV} represents a cyclane ring-system such as cyclopropane, cyclobutane, cyclopentane, cyclohexane, norbornane or norbornene;

(f) a secondary amine group $-\text{NH}(\text{CH}_2)_{n_{\text{IV}}}\text{R}_9^{\text{IV}}$, in which n_{IV} is a number between 1 and 5 and R_9^{IV} constitutes a cyclane ring-system such as cyclopropane, cyclobutane, cyclopentane, cyclohexane or norbornane, or a benzene ring, unsubstituted, mono-substituted with a fluorine or chlorine atom or with a methoxy group or trisubstituted with methoxy groups;

R^{IV} also represents a hydroxyalkenyl group



in which p_{IV} is a number between 2 and 9 and $\text{R}_{10}^{\text{IV}}$ represents a benzene ring or a phenoxy group; as well as a group

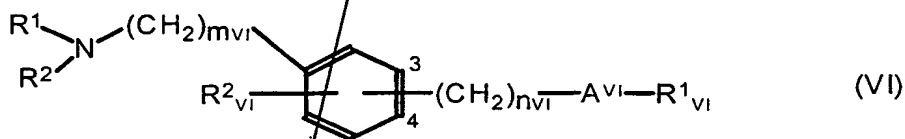


in which n_{IV} is a number between 1 and 5 and R_9^{IV} has the meaning stated above.

44. Use according to claim 43, characterized in that R^{IV} represents the group COR_3^{IV} , R_3^{IV} representing especially an aliphatic group a).

45. Use according to ~~anyone of claims 43 and 44~~, which is N-Heptanoyl-1,4'-bipiperidine or 1-(5-Cyclohexylpentanoyl)-1,4-bipiperidine

46. Use according to ~~anyone of claims 1 to 15~~, having the following formula (VI):



wherein:

- A^{VI} is selected from $-\text{O}-\text{CO}-\text{NR}_{\text{VI}}^1$, $-\text{O}-\text{CO}-$, $-\text{NR}_{\text{VI}}^1-\text{CO}-$, $-\text{NR}_{\text{VI}}^1$, $-\text{NR}_{\text{VI}}^1-\text{CO}-$, $-\text{NR}_{\text{VI}}^1$, $-\text{O}-$, $-\text{CO}-\text{NR}_{\text{VI}}^1$, $-\text{CO}-\text{O}-$, and $-\text{C}(=\text{NR}_{\text{VI}}^1)-\text{NR}_{\text{VI}}^1$;
- the groups R_{VI}^1 , which may be the same or different when there are two or three such groups in the molecule of formula VI, are selected

from hydrogen, and lower alkyl, aryl, cycloalkyl, heterocyclic and heterocycl-
alkyl groups, and groups of the formula $-(CH_2)_{y_{VI}}-G^{VI}$, where G^{VI} is selected from
 $CO_2R^3_{VI}$, COR^3_{VI} , $CONR^3_{VI}R^4_{VI}$, OR^3_{VI} , SR^3_{VI} , $NR^3_{VI}R^4_{VI}$, heteroaryl and phenyl,
which phenyl is optionally substituted by halogen, lower alkoxy or
polyhaloloweralkyl, and y_{VI} is an integer from 1 to 3;

— R^2_{VI} is selected from hydrogen and halogen atoms, and
alkyl, alkenyl, alkynyl and trifluoromethyl groups, and groups of the formula
 OR^3_{VI} , SR^3_{VI} and $NR^3_{VI}R^4_{VI}$;

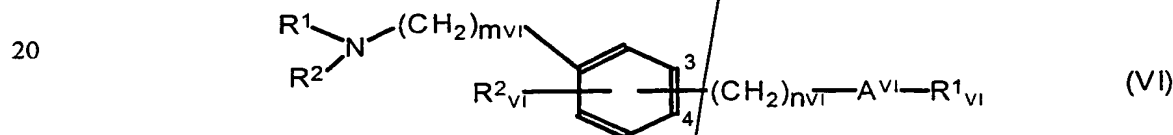
— R^3_{VI} and R^4_{VI} are independently selected from hydrogen,
and lower alkyl and cycloalkyl groups, or R^3_{VI} and R^4_{VI} together with the
intervening nitrogen atom can form a saturated ring containing 4 to 6 carbon
atoms that can be substituted with one or two lower alkyl groups;

— the group $-(CH_2)_{n_{VI}}-A^{VI}-R^1_{VI}$ is at the 3- or 4-position, and
the group R^2_{VI} is at any free position;

— m_{VI} is an integer from 1 to 3;

— and n_{VI} is 0 or an integer from 1 to 3.

47. Use according to anyone of claims 1 to 15, having the
following formula (VI):



wherein R^1_{VI} is an aryl group, preferably a phenyl group optionally
substituted with a keto-substituent, in particular a linear or branched chain
aliphatic ketone comprising from 1 to 8 carbon atoms and optionally bearing a
hydroxyl group, a cycloalkylketone, an aryl alkyl ketone or arylalkenylketone in
which the aryl group is optionally substituted, or a heteroaryl ketone, preferably
a cycloalkylketone, R^2_{VI} , n_{VI} , m_{VI} and A^{VI} being as defined in claim 46.

48. Use according to claim 46 or 47, characterized in that n_{VI}
and m_{VI} are each 1, and A^{VI} represents an oxygen atom.

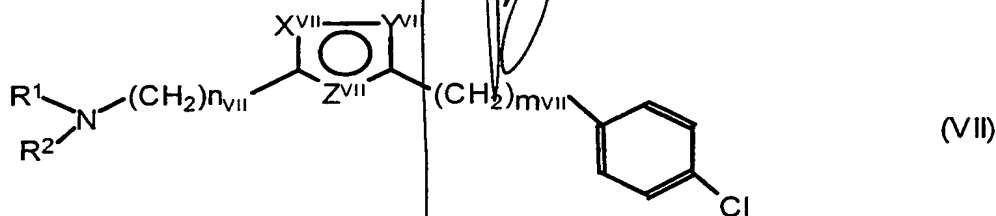
49. Use according to claim 46 or 48, characterized in that R^1_{VI}
is an aryl or $-(CH_2)_{y_{VI}}-G^{VI}$ with G^{VI} being a phenyl.

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50. Use according to ~~anyone of claims 46 to 49~~, with one of the following compounds:

- α -(4-Acetylphenoxy)- α' -piperidino p-xylol
- α -(4-Acetylphenoxy)- α' -(1-pyrrolidiny) p-xylol
- α -(3-Phenylpropoxy)- α' -piperidino p-xylol
- α -(4-Acetylphenoxy)- α' -(4-methylpiperidino)p-xylol
- α -(4-Acetylphenoxy)- α' -(3,5-cis-dimethylpiperidino)p-xylol
- α -(4-Acetylphenoxy)- α' -(3,5-trans-dimethylpiperidino)p-xylol
- α -(4-Acetylphenoxy)- α' -(2-methylpyrrolidino)p-xylol
- α -(4-Cyclopropylcarbonylphenoxy)- α' -piperidino-p-xylol
- α -(4-Cyclopropylcarbonylphenoxy)- α' -(4-methylpiperidino) p-xylol
- α -(4-Cyclopropylcarbonylphenoxy)- α' -pyrrolidino-p-xylol
- N-(4-Chlorobenzyl)-2-(4-piperidinomethyl)phenyl) ethan amidine

51. Use according to ~~anyone of claims 1 to 15~~, having the following formula (VII):



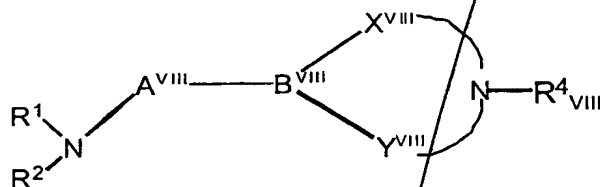
in which

- R^1 and R^2 are as defined in reference to formula (A) in claim 1;
- X^{VII} , Y^{VII} and Z^{VII} are identical or different and represent O, N or S;
- n_{VII} is varying from 1 to 3;
- m_{VII} is 1 or 2.

52. Use according to claim 51, characterized in that X^{VII} is O and Y^{VII} and Z^{VII} are each N to represent a 1, 2, 4-oxadiazolyl group.

53. Use according to claims 51 or 52 of a compound which is 3-(4-Chlorobenzyl)-5-(2-piperidinoethyl)-1,2,4-oxadiazole

54. Use according to ~~any one of claims 1 to 15~~ of a compound having the following formula (VIII):

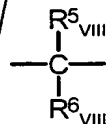


(VIII)

wherein R^1 and R^2 are as defined with reference to formula (A) in claim 1 and wherein

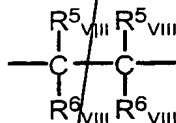
A^{VIII} is

- 1) a group of the formula $(CH_2)_{m_{VIII}}$, wherein $m_{VIII} = 0-9$; or
- 2) a group of the formula:



wherein R^5_{VIII} represents hydrogen, (C_1-C_3) alkyl-, aryl (C_1-C_3) alkyl-, aryl-, wherein aryl may optionally be substituted, hydroxyl-, (C_1-C_3) alkoxy-, halogen, amino-, cyano- or nitro; and R^6_{VIII} represents hydrogen, (C_1-C_3) alkyl-, aryl (C_1-C_3) alkyl-, or aryl-, wherein aryl may optionally be substituted; or

- 3) a group of the formula:



wherein R^5_{VIII} and R^6_{VIII} are as defined above; or

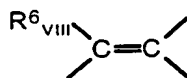
- 4) a group of the formula:



if B^{VIII} is a group of the formula:



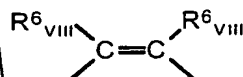
5 such that A^{VIII} and B^{VIII} together form a group of the formula:



wherein R^{6_{VIII}} is as defined above; or

5) a group of the formula:

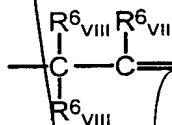
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wherein R^{6_{VIII}} is as defined above; or

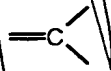
6) a group of the formula:

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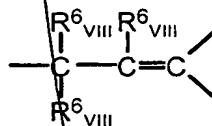


if B^{VIII} is a group of the formula:

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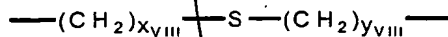
such that A^{VIII} and B^{VIII} together form a group of the formula:



25

wherein R^{6_{VIII}} is as defined above; or

7) a group of the formula:

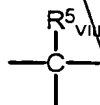


wherein $x_{VIII} + y_{VIII} = m_{VIII} - 1$;

30

B^{VIII} is

1) a group of the formula:



wherein $R^{5_{VIII}}$ is as defined above; or

2) a group of the formula:

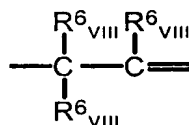


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if A is a group of one of the formulas:

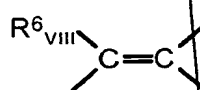


or

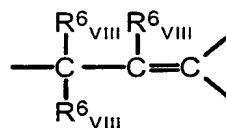


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such that A and B together form a group of one of the formulas:



or



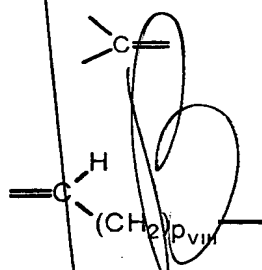
wherein $R^{6_{VIII}}$ is as defined above; or

15

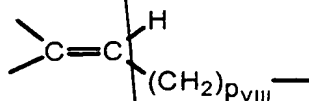
3) a group of the formula:

if X^{VIII} is a group of the formula:

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such that B^{VIII} and X^{VIII} together form a group of the formula



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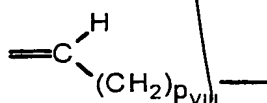
wherein $p_{VIII} = 1-3$; or

X^{VIII} is

1) a group of the formula $(CH_2)_{n_{VIII}}$ wherein $n_{VIII} = 2-4$; or

2) a group of the formula:

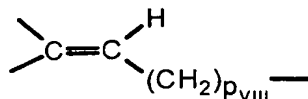
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if B^{VIII} is a group of the formula:



such that X^{VIII} and B^{VIII} together form a group of the formula:



5

wherein $p_{VIII} = 1-3$; or

3) two hydrogens (one on the carbon and one on the nitrogen); or

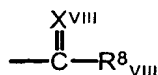
4) one hydrogen on the carbon atom and one R^7_{VIII} group on the nitrogen atom,

10 wherein R^7_{VIII} represents hydrogen, (C_1-C_{10}) alkyl-, aryl (C_1-C_{10}) alkyl-, or aryl, wherein aryl may optionally be substituted;

Y^{VIII} is a group of the formula $(\text{CH}_2)_{k_{VIII}}$, wherein $k_{VIII} = 0-2$;

R^4_{VIII} represents hydrogen, (C_1-C_{10}) alkyl-, (C_1-C_3) alkyl-sulfonamide-, aryl (C_1-C_{10}) alkyl-, aryl, wherein aryl may optionally be substituted;

15 or a group of the formula:



or a group of the formula:



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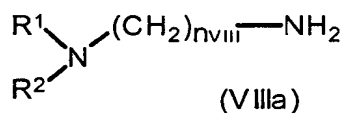
wherein X^{VIII} represents O, S, or NH,

R^7_{VIII} is as defined as above;

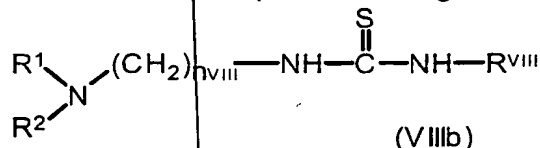
R^8_{VIII} represents (C_1-C_{10}) alkyl-, aryl (C_1-C_{10}) alkyl- or aryl,

25 wherein aryl may optionally be substituted and wherein aryl is phenyl, substituted phenyl, naphthyl, substituted naphthyl, pyridyl;

55. Use according to claim 54 of a compound having the formula



or

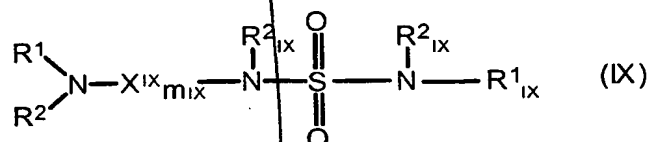


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R^1 and R^2 having the meaning given in claim 1 and n_{VIII} and R^{VIII} having the meaning given in claim 54.

56. Use according to claim 54 or ~~55~~ of a compound which is 2-Nitro-5-(6-piperidinohexyl)pyridine or 10-piperidinodecylamine.

57. Use according to ~~anyone of claims 1 to 15~~ of a compound having the following formula (IX):



wherein:

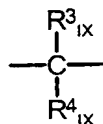
R^1 and R^2 are as defined with reference to formula (A) in claim 1.

R^1_{IX} is C_4 to C_{20} hydrocarbyl (in which one or more hydrogen atoms may be replaced by halogen, and up to four carbon atoms [and especially from 0 to 3 carbon atoms] may be replaced by oxygen, nitrogen or sulphur atoms, provided that R^1_{IX} does not contain an -O-O-group),

R^2_{IX} identical or different, are H or C_1 to C_{15} hydrocarbyl (in which one or more hydrogen atoms may be replaced by halogen, and up to three carbon atoms may be replaced by oxygen, nitrogen or sulphur atoms, provided that R^2_{IX} does not contain an -O-O-group).

m_{IX} is from 1 to 15 (preferably 1 to 10, more preferably 3 to 10, eg. 4 to 9)

each X^{IX} group is independently $\begin{array}{c} \text{R}^3_{\text{IX}} \\ | \\ -\text{C}- \\ | \\ \text{R}^4_{\text{IX}} \end{array}$, or one X^{IX} group is



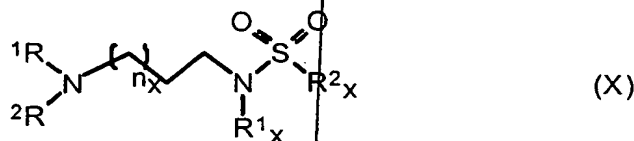
-N(R^4_{IX})-, -O- or -S- (provided that this X^{IX} group is not adjacent the -NR $^2_{\text{IX}}$ -group) and the remaining X^{IX} groups are independently

, wherein R^3_{IX} is H, C_1 to C_6 alkyl, C_2 to C_6 alkenyl,

$-\text{CO}_2\text{R}^5_{\text{IX}}$, $-\text{CON}(\text{R}^5_{\text{IX}})_2$, $-\text{CR}^5_{\text{IX}2}\text{OR}^6_{\text{IX}}$ or $-\text{OR}^5_{\text{IX}}$ (in which R^5_{IX} and R^6_{IX} are H or C_1 to C_3 alkyl), and R^4_{IX} is H or C_1 to C_6 alkyl.

58. Use according to claim 57 of a compound which is N-(4-Bromobenzyl)-N'-(4-piperidinobutyl)sulphamide.

59. Use according to ~~anyone of claims 1 to 15~~ ^{claim 1} of a compound having the following formula (X):

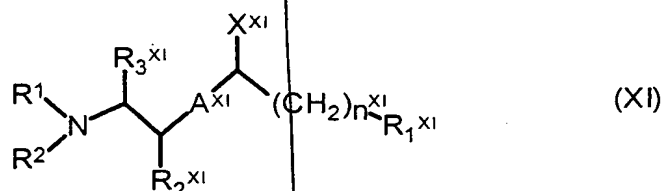


wherein:

- R^1 and R^2 are as defined with reference to formula (A) in claim 1;
- R^1_{x} is H or CH_3 ;
- R^2_{x} is selected from a phenyl optionally substituted with a halogen atom, preferably chlorine, a $(\text{C}_1\text{-C}_4)$ alkyl, a $(\text{C}_1\text{-C}_4)$ alkoxy, CF_3 , OCF_3 , NO_2 , NH_2 ; or a CH_2 -phenyl optionally substituted as above-specified;
- n_{x} is from 0 to 3.

60. Use according to claim 59, of a compound which is 3-Chloro-N-(4-piperidinobutyl)-N-methyl-benzene sulphonamide.

61. Use according to ~~claims 1 to 15~~ ^{claim 1} having the following formula (XI):



where R^1 and R^2 are as defined with reference to formula (A) in claim 1;

where A^{XI} is $-\text{NHCO}-$, $-\text{N}(\text{CH}_3)\text{-CO}-$, $-\text{NHCH}_2-$, $-\text{N}(\text{CH}_3)\text{-CH}_2-$, $-\text{CH}=\text{CH}-$, $-\text{COCH}_2-$, CH_2CH_2- , $-\text{CH}(\text{OH})\text{CH}_2-$, or $-\text{C}\equiv\text{C}-$;

X^{XI} is H, CH_3 , NH_2 , $\text{NH}(\text{CH}_3)$, $\text{N}(\text{CH}_3)_2$, OH, OCH_3 , or SH;

R_2^{XI} is hydrogen or a methyl or ethyl group;

R_3^{XI} is hydrogen or a methyl or ethyl group;

n^{XI} is 0, 1, 2, 3, 4, 5 or 6; and

R_1^{XI} is selected from the group consisting of C_3 to C_8 cycloalkyl; phenyl or substituted phenyl; decahydronaphthalene and octahydroindene; or

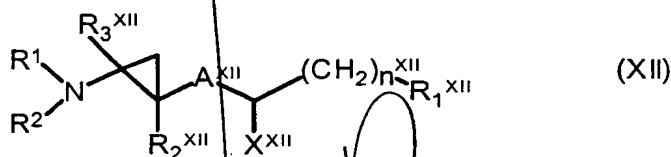
R_1^{XI} and X^{XI} may be taken together to denote a 5,6- or 6,6-saturated bicyclic ring structure when X^{XI} is NH, O, S, or SO_2 .

62. Use according to claim 61, characterized in that it is one of the following compounds :

- *cis*-1-(6-Cyclohexyl-3-hexen-1-yl)piperidine
- *trans*-1-(6-Cyclohexyl-3-hexen-1-yl)piperidine
- 1-(6-Cyclohexyl-3-hexin-1-yl)piperidine

63. Use according to ~~claim 1 to 15~~ ^{claim 1}, having the following formula

(XII):



where R^1 and R^2 are as defined in reference to formula (A) in claim 1;

where R_2^{XII} is a hydrogen or a methyl or ethyl group;

R_3^{XII} is a hydrogen or a methyl or ethyl group;

n^{XII} is 0, 1, 2, 3, 4, 5, or 6; and

R_1^{XII} is selected from the group consisting of C_3 to C_8 cycloalkyl; phenyl substituted or not by one or more groups such as a halogen atom, a lower alkyl or cycloalkyl, a trifluoromethyl, aryl, alkoxy, α -alkyloxyalkyl, aryloxy, nitro, formyl, alkanoyl, aroyl, arylalkanoyl, amino, carboxamido, cyano, alkyloximino, alkylalkoximino, aryloximino, α -hydroxyalkyl, alkenyl, alkynyl, sulphamido, sulfamoyl, sulphonamido, carboxamide, carbocycloalkyl, alkylcarbonyloalkyl, carbonylalkoxy, arylalkyl or oxime group, or two substituents taken together with the carbon atoms of the phenyl ring to which it is fused form 5- or 6-membered saturated or unsaturated ring or a benzene ring or alkyl; heterocyclic; decahydronaphthalene; and octahydroindene;

with the provisos that

when X^{XII} is H, A^{XII} can be $-CH_2CH_2-$, $-COCH_2-$, $-CONH-$, $-CON(CH_3)-$, $-CH=CH-$, $-C\equiv C-$, $-CH_2NH-$, $-CH_2N(CH_3)-$, $-CH(OH)CH_2-$, $-NHCH_2-$, $-N(CH_3)CH_2-$, $-CH_2O-$, $-CH_2S-$, or $-NHCOO-$;

5 when X^{XII} is NH_2 , $NH(CH_3)$, $N(CH_3)_2$, OH , OCH_3 , CH_3 , SH or SCH_3 ; A^{XII} can be $-NHCO-$, $-N(CH_3)CO-$, $-NHCH_2-$, $-N(CH_3)CH_2-$, $-CH=CH-$, $-COCH_2-$, $-CH_2CH_2-$, $-CH(OH)CH_2-$, or $-C\equiv C-$; and

when R_1^{XII} and X^{XII} taken together denote a 5,6 or 6,6 saturated bicyclic ring structure X^{XII} can be NH, O, or S.

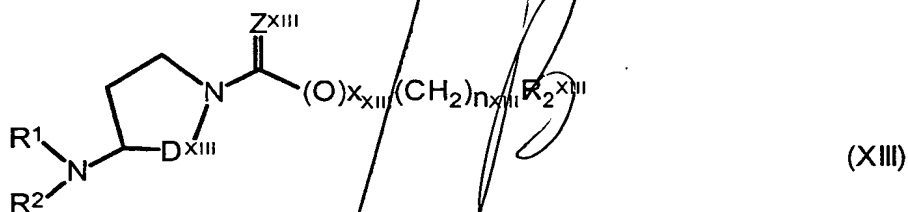
10 64. Use according to claim 63, characterized in that, A^{XII} is $-CH=CH-$ or $-C\equiv C-$.

65. Use according to ~~claims 63 to 64~~, characterized in that R_2^{XII} , R_3^{XII} are each hydrogen atom.

15 66. Use according to ~~anyone of claims 63 to 65~~, characterized in that n_{XII} is an alkyl group.

67. Use according ~~anyone of claims 63 to 66~~, of a compound which is 1-(2-(5,5-Dimethyl-1-hexin-1-yl)cyclopropyl)piperidine.

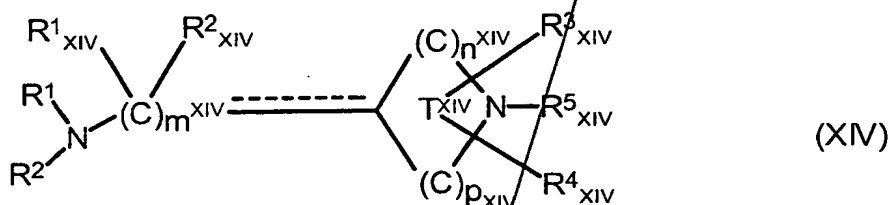
68. Use according to ~~anyone of claims 1 to 15~~ having the following formula (XIII):



25 wherein R^1 and R^2 are as defined with reference to formula (A) in claim 1.
 wherein D^{XIII} is CH_2 or CH_2CH_2 , Z^{XIII} represents sulfur (S) or oxygen (O), preferably O, X_{XIII} is 0 or 1, n_{XIII} is an integer from 0 to 6,
 and R_2^{XIII} represents a substituted or unsubstituted linear chain or branched
 30 chain alkyl group of up to about 20 carbon atoms, a substituted or unsubstituted carbocyclic group of up to about 20 carbon atoms including mono and bicyclic moieties, and a substituted or an unsubstituted aryl group of up to about 20 carbon atoms, or any combination of above-mentioned groups, or salts thereof.

69. Use according to claim 68, of a compound which is *N*-heptanoyl-1,4'-bipiperidine or 1-(5-Cyclohexylpentanoyl)-1,4'-bipiperidine.

70. Use according to anyone of claims 1 to 15, having the following formula (XIV)



wherein R^1 and R^2 are as defined in reference of formula (A) in claim 1;

- (A) m_{XIV} is an integer selected from the group consisting of: 1 and 2;
- (B) n_{XIV} and p_{XIV} are integers and are each independently selected from the group consisting of: 0, 1, 2, 3, and 4 such that the sum of n_{XIV} and p_{XIV} is 4 and T^{XIV} is a 6-membered ring;
- (C) R^3_{XIV} and R^4_{XIV} are each independently bound to the same or different carbon atom of ring T^{XIV} , such that there is only one R^3_{XIV} group and one R^4_{XIV} group in ring T^{XIV} , and each R^1_{XIV} , R^2_{XIV} , R^3_{XIV} and R^4_{XIV} is independently selected from the group consisting of:
- (1) H;
 - (2) C_1 to C_6 alkyl; and
 - (3) $-(CH_2)_{q_{XIV}}-R^6_{XIV}$ wherein q_{XIV} is an integer of: 1 to 7, and R^6_{XIV} is selected from the group consisting of: phenyl, substituted phenyl, $-OR^7_{XIV}$, $-C(O)OR^7_{XIV}$, $-C(O)R^7_{XIV}$, $-OC(O)R^7_{XIV}$, $-C(O)NR^7_{XIV}R^8_{XIV}$, CN and $-SR^7_{XIV}$ wherein R^7_{XIV} and R^8_{XIV} are as defined below, and wherein the substituents on said substituted phenyl are each independently selected from the group consisting of: -OH, -O- $(C_1$ to $C_6)$ alkyl, halogen, C_1 to C_6 alkyl, $-CF_3$, -CN, and $-NO_2$, and wherein said substituted phenyl contains from 1 to 3 substituents;

(D) R^5_{XIV} is selected from the group consisting of:

- (1) H;
- (2) C_1 to C_{20} alkyl;
- (3) C_3 to C_6 cycloalkyl;
- 5 (4) $-C(O)OR^7_{XIV}$; wherein R^7_{XIV} is the same as R^7_{XIV} defined below except that R^7_{XIV} is not H;
- (5) $-C(O)R^7_{XIV}$;
- (6) $-C(O)NR^7_{XIV}R^8_{XIV}$;
- (7) allyl;
- 10 (8) propargyl; and
- (9) $-(CH_2)_q-R^6_{XIV}$ wherein q_{XIV} and R^6_{XIV} are as defined above, and when q_{XIV} is equal to 1, then R^6_{XIV} is not OH or SH;

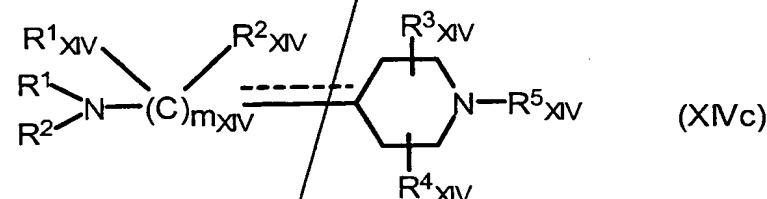
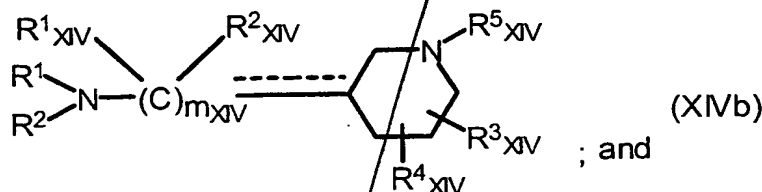
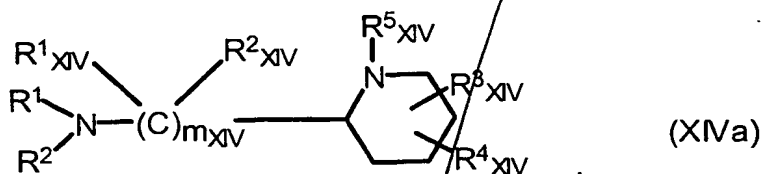
(E) R^7_{XIV} and R^8_{XIV} are each independently selected from the group consisting of: H, C_1 to C_6 alkyl, and C_3 to C_6 cycloalkyl;

15 (F) the dotted line (-----) represents a double bond that is optionally present when m_{XIV} is 1, and n_{XIV} is not 0, and p is not 0 (i.e., the nitrogen in the ring is not bound directly to the carbon atom bearing the double bond), and when said double bond is present then R^2_{XIV} is absent; and

20 (G) when m_{XIV} is 2, each R^1_{XIV} is the same or different substituent for each m_{XIV} , and each R^2_{XIV} is the same or different substituent for each m_{XIV} , and at least two of the substituents R^1_{XIV} and/or R^2_{XIV} are H.

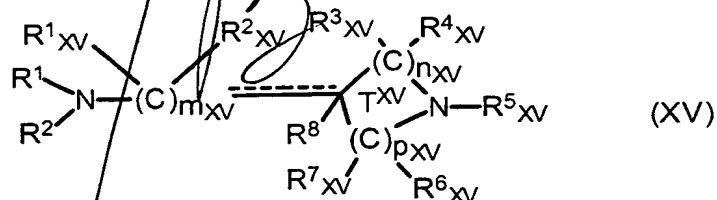
71. Use according to claim 70, of a compound which is selected
25 from compounds having the following formula (XIVa), (XIVb) or (XIVc)

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in which R^5_{xv} is preferably H or CH_3 and R^3_{xv} and R^4_{xv} are preferably each H.

72. Use according to anyone of claims 1 to 15, of a compound having the following formula (XV):



where R^1 and R^2 are as defined in reference to formula (A) in claim 1;

- (A) m_{xv} is an integer selected from the group consisting of: 0, 1, and 2;
- (B) n_{xv} and p_{xv} are integers and are each independently selected from the group consisting of: 0, 1, 2, and 3 such that the sum of n_{xv} and p_{xv} is 2 or 3 such that when the sum of n_{xv} and p_{xv} is 2, T^{xv} is a 4-membered ring and when the sum of n and p_{xv} is 3, T^{xv} is a 5-membered ring;
- (C) each R^1_{xv} , R^2_{xv} , R^3_{xv} , R^4_{xv} , R^6_{xv} , R^7_{xv} and R^8_{xv} is independently selected from the group consisting of:

- (1) H;
- (2) C₁ to C₆ alkyl;
- (3) C₃ to C₆ cycloalkyl; and
- (4) $-(CH_2)_{q_{XV}}-R^9_{XV}$ wherein q_{XV} is an integer of: 1 to 7, and R^9_{XV} is selected from the group consisting of: phenyl, substituted phenyl, $-OR^{10}_{XV}$, $-C(O)OR^{10}_{XV}$, $-C(O)R^{10}_{XV}$, $-OC(O)R^{10}_{XV}$, $-C(O)NR^{10}_{XV}R^{11}_{XV}$, CN and $-SR^{10}_{XV}$ wherein R^{10}_{XV} and R^{11}_{XV} are as defined below, and wherein the substituents on said substituted phenyl are each independently selected from the group consisting of: -OH, -O-(C₁ to C₆) alkyl, halogen, C₁ to C₆ alkyl, -CF₃, -CN, and -NO₂, and wherein said substituted phenyl contains from 1 to 3 substituents; examples of $-(CH_2)_{q_{XV}}-R^9_{XV}$ include benzyl, substituted benzyl and the like, wherein the substituents on the substituted benzyl are as defined above for said substituted phenyl;

(D) R^5_{XV} is selected from the group consisting of:

- (1) H;
- (2) C₁ to C₂₀ alkyl;
- (3) C₃ to C₆ cycloalkyl;
- (4) $-C(O)OR^{10'}_{XV}$; wherein $R^{10'}_{XV}$ is the same as R^{10}_{XV} defined below except that $R^{10'}_{XV}$ is not H;
- (5) $-C(O)R^{10}_{XV}$;
- (6) $-C(O)NR^{10}_{XV}R^{11}_{XV}$;
- (7) allyl;
- (8) propargyl; and
- (9) $-(CH_2)_{q_{XV}}-R^9_{XV}$, wherein q_{XV} and R^9_{XV} are as defined above with the proviso that when q_{XV} is 1 then R^9_{XV} is not -OH or -SH;

(E) R^{10}_{XV} and R^{11}_{XV} are each independently selected from the group consisting of: H, C₁ to C₆ alkyl, and C₃ to C₆ cycloalkyl; and, for the substituent $-C(O)NR^{10}_{XV}R^{11}_{XV}$, R^{10}_{XV} and R^{11}_{XV} , together with the

nitrogen to which they are bound, can form a ring having 5, 6, or 7 atoms;

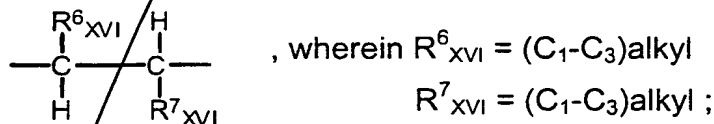
- (F) the dotted line (----) represents a double bond that is optionally present when m_{XV} is 1, and T^{XV} is a 5-membered ring, and n_{XV} is not 0, and p_{XV} is not 0 (i.e., the nitrogen in the ring is not bound directly to the carbon atom bearing the double bond), and when said double bond is present then R^2_{XV} and R^8_{XV} are absent;
- (G) when m_{XV} is 2, each R^1_{XV} is the same or different substituent for each m_{XV} , and each R^2_{XV} is the same or different substituent for each m_{XV} ;
- (H) when n_{XV} is 2 or 3, each R^3_{XV} is the same or different substituent for each n_{XV} , and each R^4_{XV} is the same or different substituent for each n_{XV} ; and
- (I) when p_{XV} is 2 or 3, each R^6_{XV} is the same or different substituent for each p , and each R^7_{XV} is the same or different substituent for each p_{XV} .

73. Use according to ~~anyone of claims 1 to 15~~, of a compound having the following formula (XVI)



where R^1 and R^2 are as defined in reference to formula (A) in claim 1;

Z^{XVI} is a group of the formula $(CH_2)_{m_{XVI}}$ wherein $m_{XVI} = 1-5$ or a group of the formula:

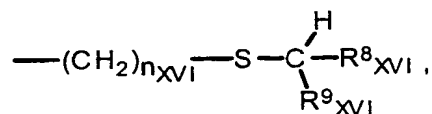


wherein Z^{XVI} may optionally comprise other substituents selected such that the activity of the derivative is not negatively affected,

X^{XVI} represents S, NH or CH_2

R^{1}_{XVI} represents hydrogen, (C_1-C_3) alkyl-, aryl(C_1-C_{10})alkyl, wherein aryl may optionally be substituted, aryl, (C_5-C_7) cycloalkyl(C_1-C_{10})alkyl-, or a group of the formula:

5

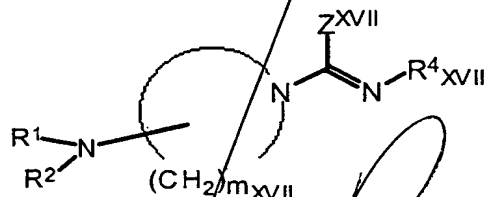


wherein $n_{XVI} = 1-4$, R^8_{XVI} is aryl, aryl(C_1-C_{10})alkyl-, (C_5-C_7) cycloalkyl- or (C_5-C_7) cycloalkyl(C_1-C_{10})alkyl-, and R^9_{XVI} is hydrogen, (C_1-C_{10}) alkyl- or aryl; R^2_{XVI} and R^5_{XVI} represent hydrogen, (C_1-C_3) alkyl-, aryl or arylalkyl-, wherein aryl may optionally be substituted; wherein aryl is phenyl, substituted phenyl, naphthyl, substituted naphthyl, pyridyl or substituted pyridyl.

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Claim 1
 74. Use according to ~~anyone of claims 1 to 15~~, of a compound having the following formula (XVII):

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XVII

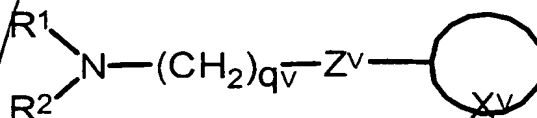
wherein m_{XVII} represents an integer of from 4 to 6.

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R^4_{XVII} represents a hydrogen atom, a linear or branched alkyl group, a cycloalkyl group, a cycloalkylalkyl group, a substituted or unsubstituted aryl group or a substituted or unsubstituted aralkyl group; and Z^{XVII} represents R^5_{XVII} or $A^{XVII}-R^6_{XVII}$, wherein A^{XVII} represents S or O, R^5_{XVII} represents a hydrogen atom, a lower alkyl group, a substituted or unsubstituted aryl group or a substituted or unsubstituted aralkyl group, and R^6_{XVII} represents a lower alkyl group, a lower alkenyl group, a lower alkynyl group or a substituted or unsubstituted aralkyl group.

25

Claim 1
 75. Use according to ~~anyone of claims 1 to 15~~, of a compound having the following formula (V):

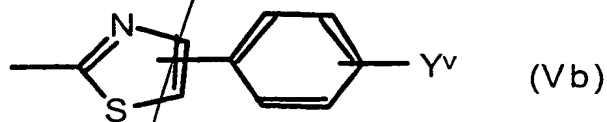
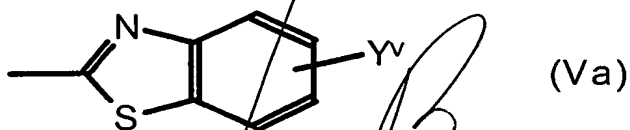


(V)

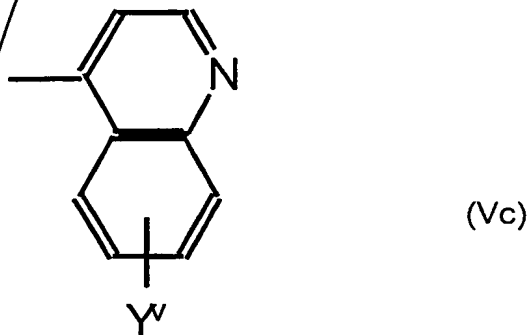
in which

- R^1 and R^2 are as defined with reference to formula (A) in claim 1;
- q^V is 2 to 5
- Z^V represents NH, O or S
- X_V represents a heterocycle, optionally condensed, containing one or more heteroatoms like nitrogen, oxygen or sulfur, unsubstituted or substituted by one or more groups like aryl or lower alkyl and halogen.

76. Use according to claim 75 wherein X^V means an heterocycle like :



or



30 with Y^V being an hydrogen atom, a halogen or a lower alkyl.

a 77. Use according to claims 75 or 76 with one of the following compounds :

2-((2-Piperidinoethyl)amino)benzothiazole
2-(6-Piperidinohexylamino)benzothiazole
4-(6-Piperidinohexylamino)quinoline
2-Methyl 4-(3-piperidinopropylamino)quinoline
5 2-Methyl 4-(6-piperidinohexylamino)quinoline
7-Chloro-4-(3-piperidinopropylamino)quinoline
7-Chloro-4-(4-piperidinobutylamino)quinoline
7-Chloro-4-(8-piperidinooctylamino)quinoline
7-Chloro-4-(10-piperidinodecylamino)quinoline
10 7-Chloro-4-(12-piperidinododecylamino)quinoline
7-Chloro-4-(4-(3-piperidinopropoxy)phenylamino)quinoline
7-Chloro-4-(2-(4-(3-piperidinopropoxy) phenyl) ethylamino)
quinoline

78. Use according to claim 1 with at least one of the following
15 compounds :

1-(5-phenoxypropyl)-piperidine
1-(5-phenoxypropyl)-pyrrolidine
N-methyl-N-(5-phenoxypropyl)-ethylamine
1-(5-phenoxypropyl)-morpholine
20 N-(5-phenoxypropyl)-hexamethyleneimine
N-ethyl-N-(5-phenoxypropyl)-propylamine
1-(5-phenoxypropyl)-2-methyl-piperidine
1-(5-phenoxypropyl)-4-propyl-piperidine
1-(5-phenoxypropyl)-4-methyl-piperidine
25 1-(5-phenoxypropyl)-3-methyl-piperidine
1-acetyl-4-(5-phenoxypropyl)-piperazine
1-(5-phenoxypropyl)-3,5-trans-dimethyl-piperidine
1-(5-phenoxypropyl)-3,5-cis-dimethyl-piperidine
1-(5-phenoxypropyl)-2,6-cis-dimethyl-piperidine
30 4-carboethoxy-1-(5-phenoxypropyl)-piperidine
3-carboethoxy-1-(5-phenoxypropyl)-piperidine
1-[3-(4-cyclopropylcarbonylphenoxy) propyl]-piperidine
1-[3-(4-acetylphenoxy)-2-R-methylpropyl] piperidine

	1970	1971	1972	1973	1974	1975	1976	1977	1978	1979	1980	1981	1982	1983	1984	1985	1986	1987	1988	1989	1990	1991	1992	1993	1994	1995	1996	1997	1998	1999	2000	2001	2002	2003	2004	2005	2006	2007	2008	2009	2010	2011	2012	2013	2014	2015	2016	2017	2018	2019	2020	2021	2022	2023	2024	2025	2026	2027	2028	2029	2030	2031	2032	2033	2034	2035	2036	2037	2038	2039	2040	2041	2042	2043	2044	2045	2046	2047	2048	2049	2050	2051	2052	2053	2054	2055	2056	2057	2058	2059	2060	2061	2062	2063	2064	2065	2066	2067	2068	2069	2070	2071	2072	2073	2074	2075	2076	2077	2078	2079	2080	2081	2082	2083	2084	2085	2086	2087	2088	2089	2090	2091	2092	2093	2094	2095	2096	2097	2098	2099	2100	2101	2102	2103	2104	2105	2106	2107	2108	2109	2110	2111	2112	2113	2114	2115	2116	2117	2118	2119	2120	2121	2122	2123	2124	2125	2126	2127	2128	2129	2130	2131	2132	2133	2134	2135	2136	2137	2138	2139	2140	2141	2142	2143	2144	2145	2146	2147	2148	2149	2150	2151	2152	2153	2154	2155	2156	2157	2158	2159	2160	2161	2162	2163	2164	2165	2166	2167	2168	2169	2170	2171	2172	2173	2174	2175	2176	2177	2178	2179	2180	2181	2182	2183	2184	2185	2186	2187	2188	2189	2190	2191	2192	2193	2194	2195	2196	2197	2198	2199	2200	2201	2202	2203	2204	2205	2206	2207	2208	2209	2210	2211	2212	2213	2214	2215	2216	2217	2218	2219	2220	2221	2222	2223	2224	2225	2226	2227	2228	2229	2230	2231	2232	2233	2234	2235	2236	2237	2238	2239	2240	2241	2242	2243	2244	2245	2246	2247	2248	2249	2250	2251	2252	2253	2254	2255	2256	2257	2258	2259	2260	2261	2262	2263	2264	2265	2266	2267	2268	2269	2270	2271	2272	2273	2274	2275	2276	2277	2278	2279	2280	2281	2282	2283	2284	2285	2286	2287	2288	2289	2290	2291	2292	2293	2294	2295	2296	2297	2298	2299	2300	2301	2302	2303	2304	2305	2306	2307	2308	2309	2310	2311	2312	2313	2314	2315	2316	2317	2318	2319	2320	2321	2322	2323	2324	2325	2326	2327	2328	2329	2330	2331	2332	2333	2334	2335	2336	2337	2338	2339	2340	2341	2342	2343	2344	2345	2346	2347	2348	2349	2350	2351	2352	2353	2354	2355	2356	2357	2358	2359	2360	2361	2362	2363	2364	2365	2366	2367	2368	2369	2370	2371	2372	2373	2374	2375	2376	2377	2378	2379	2380	2381	2382	2383	2384	2385	2386	2387	2388	2389	2390	2391	2392	2393	2394	2395	2396	2397	2398	2399	2400	2401	2402	2403	2404	2405	2406	2407	2408	2409	2410	2411	2412	2413	2414	2415	2416	2417	2418	2419	2420	2421	2422	2
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- 1-[3-(4-nitrophenoxy)propyl]piperidine
1-[3-(4-N,N-dimethylsulfonamidophenoxy) propyl]piperidine
1-[3-(4-isopropylphenoxy)propyl]piperidine
1-[3-(4-sec-butylphenoxy)propyl]piperidine
5 1-[3-(4-propylphenoxy)propyl]piperidine
1-[3-(4-ethylphenoxy)propyl]piperidine
1-(5-phenoxypropyl)-1,2,3,6-tetrahydropyridine
1-[5-(4-nitrophenoxy)-pentyl]-pyrrolidine
1-[5-(4-chlorophenoxy)-pentyl]-pyrrolidine
10 1-[5-(4-methoxyphenoxy)-pentyl]-pyrrolidine
1-[5-(4-methylphenoxy)-pentyl]-pyrrolidine
1-[5-(4-cyanophenoxy)-pentyl]-pyrrolidine
1-[5-(2-naphthyloxy)-pentyl]-pyrrolidine
1-[5-(1-naphthyloxy)-pentyl]-pyrrolidine
15 1-[5-(3-chlorophenoxy)-pentyl]-pyrrolidine
1-[5-(4-phenylphenoxy)-pentyl]-pyrrolidine
1-[5-[2-(5,6,7,8-tetrahydronaphthyl)-oxy]-pentyl]-pyrrolidine
1-[5-(3-phenylphenoxy)-pentyl]-pyrrolidine
1-(5-phenoxypropyl)-2,5-dihydropyrrole
20 1-[5-[1-(5,6,7,8-tetrahydronaphthyl)-oxy]-pentyl]-pyrrolidine
1-(4-phenoxybutyl)-pyrrolidine
1-(6-phenoxyhexyl)-pyrrolidine
1-(5-phenylthiopentyl)-pyrrolidine
1-(4-phenylthiobutyl)-pyrrolidine
25 1-(3-phenoxypropyl)-pyrrolidine
1-[5-(3-nitrophenoxy)-pentyl]-pyrrolidine
1-[5-(4-fluorophenoxy)-pentyl]-pyrrolidine
1-[5-(4-nitrophenoxy)-pentyl]-3-methyl-piperidine
1-[5-(4-acetylphenoxy)-pentyl]-pyrrolidine
30 1-[5-(4-aminophenoxy)-pentyl]-pyrrolidine
1-[5-(3-cyanophenoxy)-pentyl]-pyrrolidine
N-[3-(4-nitrophenoxy)-propyl]-diethylamine
N-[3-(4-cyanophenoxy)-propyl]-diethylamine

- 1-[5-(4-benzoylphenoxy)-pentyl]-pyrrolidine
1-{5-[4-(phenylacetyl)-phenoxy]-pentyl}-pyrrolidine
N-[3-(4-acetylphenoxy)-propyl]-diethylamine
1-[5-(4-acetamidophenoxy)-pentyl]-pyrrolidine
5 1-[5-(4-phenoxyphenoxy)-pentyl]-pyrrolidine
1-[5-(4-N-benzamidophenoxy)-pentyl]-pyrrolidine
1-{5-[4-(1-hydroxyethyl)-phenoxy]-pentyl}-pyrrolidine
1-[5-(4-cyanophenoxy)-pentyl]-diethylamine
1-[5-(4-cyanophenoxy)-pentyl]-piperidine
10 N-[5-(4-cyanophenoxy)-pentyl]-dimethylamine
N-[2-(4-cyanophenoxy)-ethyl]-diethylamine
N-[3-(4-cyanophenoxy)-propyl]-dimethylamine
N-[4-(4-cyanophenoxy)-butyl]-diethylamine
N-[5-(4-cyanophenoxy)-pentyl]-dipropylamine
15 1-[3-(4-cyanophenoxy)-propyl]-pyrrolidine
1-[3-(4-cyanophenoxy)-propyl]-piperidine
N-[3-(4-cyanophenoxy)-propyl]-hexamethyleneimine
N-[6-(4-cyanophenoxy)-hexyl]-diethylamine
N-[3-(4-cyanophenoxy)-propyl]-dipropylamine
20 N-3-[4-(1-hydroxyethyl)-phenoxy]-propyl-diethylamine
4-(3-diethylaminopropoxy)-acetophenone-oxime
1-[3-(4-acetylphenoxy)-propyl]-piperidine
1-[3-(4-acetylphenoxy)-propyl]-3-methyl-piperidine
1-[3-(4-acetylphenoxy)-propyl]-3,5-trans-dimethyl-piperidine
25 1-[3-(4-acetylphenoxy)-propyl]-4-methyl-piperidine
1-[3-(4-propionylphenoxy)-propyl]-piperidine
1-[3-(4-acetylphenoxy)-propyl]-3,5-cis-dimethyl-piperidine
1-[3-(4-formylphenoxy)-propyl]-piperidine
1-[3-(4-isobutyrylphenoxy)-propyl]-piperidine
30 N-[3-(4-propionylphenoxy)-propyl]-diethylamine
1-[3-(4-butyrylphenoxy)-propyl]-piperidine
1-[3-(4-acetylphenoxy)-propyl]-1,2,3,6-tetrahydropyridine
 α -(4-Acetylphenoxy)- α' -(4-methylpiperidino)p-xylol

α -(4-Acetylphenoxy)- α' -(3,5-*cis*-dimethylpiperidino)p-xylol
 α -(4-Acetylphenoxy)- α' -(3,5-*trans*-dimethylpiperidino)p-xylol
 α -(4-Acetylphenoxy)- α' -(2-methylpyrrolidino)p-xylol
 α -(4-Cyclopropylcarbonylphenoxy)- α' -piperidino-p-xylol
5 α -(4-Cyclopropylcarbonylphenoxy)- α' -(4-methylpiperidino)p
-xylol
 α -(4-Cyclopropylcarbonylphenoxy)- α' -pyrrolidino-p-xylol
3-Phenylpropyl 3-(4-methylpiperidino)propyl ether
3-Phenylpropyl 3-(3,5-*cis*-dimethylpiperidino)propyl ether
10 3-Phenylpropyl 3-(3,5-*trans*-dimethylpiperidino)propyl ether
3-Phenylpropyl 3-(3-methylpiperidino)propyl ether
3-Phenylpropyl 3-pyrrolidinopropyl ether
3-(4-Chlorophenyl)propyl 3-(4-methylpiperidino)propyl ether
3-(4-Chlorophenyl)propyl 3-(3,5-*cis*-dimethylpiperidino)propyl ether
15 3-(4-Chlorophenyl)propyl 3-(3,5-*trans*-dimethylpiperidino)propyl ether
4-(6-Piperidinohexylamino)quinoline
2-Methyl 4-(3-piperidinopropylamino)quinoline
2-Methyl 4-(6-piperidinohexylamino)quinoline
7-Chloro-4-(3-piperidinopropylamino)quinoline
20 7-Chloro-4-(4-piperidinobutylamino)quinoline
7-Chloro-4-(8-piperidinooctylamino)quinoline
7-Chloro-4-(10-piperidinodecylamino)quinoline
7-Chloro-4-(12-piperidinododecylamino)quinoline
7-Chloro-4-(4-(3-piperidinopropoxy)phenylamino)quinoline
25 7-Chloro-4-(2-(4-(3-piperidinopropoxy)phenyl)ethylamino)quinoline
4-(6-Piperidinohexanoyl)phenyl 3-piperidinopropyl ether
5-Nitro-2-(5-piperidinopentylamino)pyridine
3-Nitro-2-(6-piperidinopentylamino)pyridine
5-Amino-2-(6-piperidinopentylamino)pyridine
30 2-(6-Piperidinohexylamino)quinoline
N-(4-Chlorobenzyl)-*N'*-cyclohexyl-3-piperidinopropyl isothiourea
2-(6-Piperidinohexylamino)benzothiazole
10-Piperidinodecylamine

3-Phenylpropyl 3-(N,N-diethylamino)propyl ether
 N-(3-(N,N-Diethylamino)propyl)N'-phenylurea
 N-Cyclohexylmethyl-N'-(3-piperidinopropyl)guanidine
 N-(4-Bromobenzyl)-N'-(4-piperidinobutyl)sulphamide
 3-Chloro-N-(4-piperidinobutyl)-N-methyl-benzene sulphonamide
 N-(4-Chlorobenzyl)-2-(4-piperidinomethyl) phenyl ethan amidine
 1-(5-Cyclohexylpentanoyl)-1,4-bis piperidine
 cis-1-(6-Cyclohexyl-3-hexen-1-yl)piperidine
 trans-1-(6-Cyclohexyl-3-hexen-1-yl)piperidine
 1-(2-(5,5-Dimethyl-1-hexin-1-yl)cyclopropyl)piperidine

for the preparation of a medicament acting as a ligand of the histamine H₃-receptors.

79. Pharmaceutical composition characterized in that it comprises as active ingredient, a therapeutically effective amount of a compound according to ~~anyone of claim 1 to 78~~ ^{claim 1} in combination with a pharmaceutically acceptable vehicle or excipient.

80. Medicament acting as an antagonist and/or agonist of the histamine H₃-receptors, characterized in that it comprises as active ingredient, an effective amount of a compound according to ~~anyone of claims 1 to 78~~ ^{claim 1}.

81. Medicament according to ~~anyone of claims 1 to 78~~ ^{claim 1}, for the treatment of central nervous system disorders, in particular Alzheimer disease, mood and attention alterations, cognitive deficits in psychiatric pathologies, obesity, vertigo and motion sickness.

82. Medicament according to ~~anyone of claims 1 to 78~~ ^{claim 1}, having psychotropic effects, promoting wakefulness, attention, memory and improving mood, intended to be used in particular in the treatment of Alzheimer disease and other cognitive disorders in aged persons, depressive or asthenic states.

83. Medicament according to ~~anyone of claims 1 to 78~~ ^{claim 1}, having nootropic effects, intended to be used in particular in treatment to stimulate attention and memorization capacity.

84. Medicament according to ~~anyone of claims 1 to 78~~ ^{claim 1}, for the treatment of obesity, vertigo and motion sickness.

a 85. Medicament according to ~~anyone of claims 1 to 78~~, for the treatment of CNS disorders, in particular of aged persons.

86. Medicament, acting as an histamine H₃-receptor agonist or partial agonist characterized in that it comprises as active ingredient, an effective amount of a compound according to ~~anyone of claims 1 to 78~~.

a 87. Medicament according to ~~anyone of claims 1 to 78~~ for exerting sedative, tranquillizing, anti-stress, analgesic and antimigraine activity, and for treating psychosomatic disorders, respiratory, allergic and rheumatic conditions of inflammatory conditions of the eye, urogenital system, digestive tract, skin, respiratory system and bronchi.

a 88. Medicament according to ~~anyone of claims 1 to 78 and 87~~ for the treatment of asthma, bronchitis, rhinitis, tracheitis, myocardial dysfunctions and infarctions, gastric or duodenal ulcers, ulcerative colitis, Crohn's disease, irritable bowel syndrome, cystitis, metritis, urinary and faecal incontinence, urticaria, itching, arthritis, conjunctivitis and premenstrual syndrome.

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